

=> b reg  
 FILE 'REGISTRY' ENTERED AT 11:47:36 ON 06 MAR 2009  
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STRUCTURE FILE UPDATES: 4 MAR 2009 HIGHEST RN 1115640-24-8  
 DICTIONARY FILE UPDATES: 4 MAR 2009 HIGHEST RN 1115640-24-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

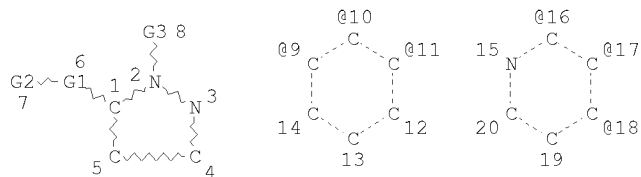
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
 predicted properties as well as tags indicating availability of  
 experimental property data in the original document. For information  
 on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d que sta l11  
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 46.156.30)/RID AND NR>=3  
 L9 STR



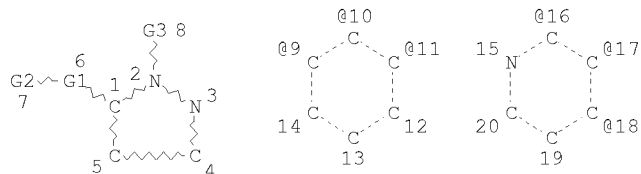
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 VAR G3=9/10/11/16/17/18  
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 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RSPEC 2 9 15  
 NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE  
 L11 106513 SEA FILE=REGISTRY SUB=L7 SSS FUL L9

100.0% PROCESSED 821728 ITERATIONS 106513 ANSWERS  
 SEARCH TIME: 00.00.52

=> d que sta l15  
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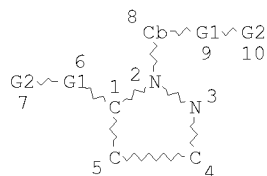


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 NUMBER OF NODES IS 20

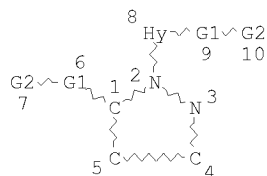
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GRAPH ATTRIBUTES:  
 RSPEC 2  
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STEREO ATTRIBUTES: NONE  
 L13 STR



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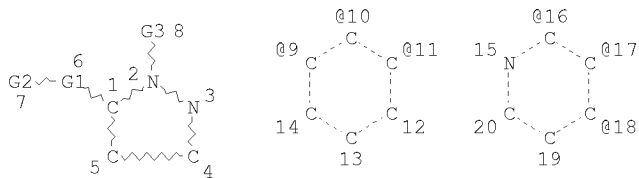
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STEREO ATTRIBUTES: NONE  
 L15 6421 SEA FILE=REGISTRY SUB=L11 SSS FUL (L12 OR L13)

100.0% PROCESSED 106513 ITERATIONS 6421 ANSWERS  
 SEARCH TIME: 00.00.15

=> d que sta l31

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 L2 TRANSFER PLU=ON L1 1- RN : 49 TERMS  
 L3 49 SEA FILE=REGISTRY ABB=ON PLU=ON L2  
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 46.156.30)/RID AND NR>=3  
 L9 STR



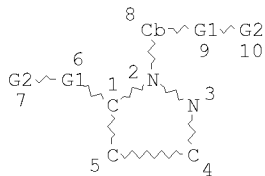
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VAR G2=CB/HY
VAR G3=9/10/11/16/17/18
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ELEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RSPEC      2      9      15
NUMBER OF NODES IS 20

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STEREO ATTRIBUTES: NONE
L11      106513 SEA FILE=REGISTRY SUB=L7 SSS FUL L9
L12      STR
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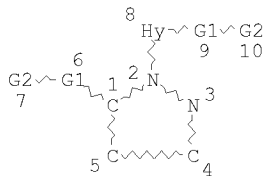
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VAR G2=CB/HY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RSPEC      2
NUMBER OF NODES IS 10

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STEREO ATTRIBUTES: NONE
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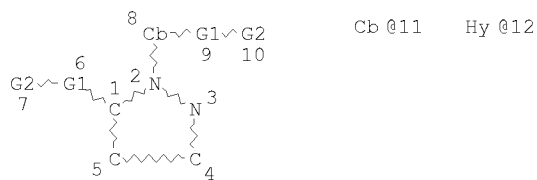
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REP G1=(0-7) C
VAR G2=CB/HY
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RSPEC      2
NUMBER OF NODES IS 10

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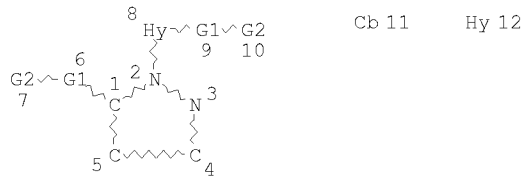
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STEREO ATTRIBUTES: NONE
L15      6421 SEA FILE=REGISTRY SUB=L11 SSS FUL (L12 OR L13)
L16      29  SEA FILE=REGISTRY ABB=ON  PLU=ON  L15 AND L3
L17      6392 SEA FILE=REGISTRY ABB=ON  PLU=ON  L15 NOT L16
L28      STR
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VAR G2=11/12
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CONNECT IS M1 RC AT 5
CONNECT IS M1 RC AT 11
CONNECT IS M1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ELEVEL IS LIMITED
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GRAPH ATTRIBUTES:  
RSPEC 2  
NUMBER OF NODES IS 12

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STEREO ATTRIBUTES: NONE
L29                STR
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REP G1=(0-7) C
VAR G2=CB/HY
NODE ATTRIBUTES:
CONNECT IS M1 RC AT 4
CONNECT IS M1 RC AT 5
CONNECT IS M1 RC AT 11
CONNECT IS M1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ELEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RSPEC      2
NUMBER OF NODES IS 12

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STEREO ATTRIBUTES: NONE
L31          1733 SEA FILE=REGISTRY SUB=L17 CSS FUL (L28 OR L29)
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100.0% PROCESSED      6392 ITERATIONS      1733 ANSWERS
SEARCH TIME: 00.00.02
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FILE 'HCAPLUS' ENTERED AT 11:47:48 ON 06 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 6 Mar 2009 VOL 150 ISS 11  
FILE LAST UPDATED: 5 Mar 2009 (20090305/ED)

HCAplus now includes complete International Patent Classification (IPC)  
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

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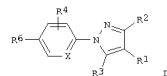
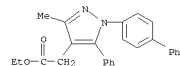
L20 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on SIN  
 AN 2004:841774 HCAPLUS  
 DN 141:332187  
 TI Preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.  
 IN Schadt, Oliver; Schlemann, Kai; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph  
 PA Merck Patent GmbH, Germany  
 SO Ger., Offen., 24 pp.,  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN,CNI 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE-----10315571	A1	20041014	2003DE-100015571	20030405
AU---2004228119	A1	20041021	2004AU-000228119	20040308
CA-----2521199	A1	20041021	2004CA-002521199	20040308
WO---2004089888	A1	20041021	2004WO-EP0002352	20040308

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TH, TR, TT, IE, UA, UG, US, VE, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, CH, GM, HE, LS, MW, NE, SD, SL, SE, TI, UG, ZM, ZW, AM, AE, BY, KG, KE, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP-----1611094	A1	20060104	2004EP-000718288	20040308
EP-----1611094	B1	20070620		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR---2004009160	A	20060502	2004BR-000009160	20040308
CN-----1768053	A	20060503	2004CN-00000804	20040308
JP---2006523626	T	20061019	2006JP-000504583	20040308
AT-----365161	T	20070715	2004AT-000718288	20040308
ES-----2287707	T3	20071216	2004ES-000718288	20040308
US-20060276650	A1	20061207	2005US-000551905	20051005 <==
PPAI 2003DE-100015571	A	20030405		
2004WO-EP0002352	M	20040308		
OS MARPAT 141:332187				
GI				

L20 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on SIN (Continued)  
**1070643-74-1 1070643-75-2 1070643-76-3**  
**1070643-77-4 1070643-78-5 1070643-79-6**  
**1070643-80-9 1070643-81-0**  
 RL: PDPH (Prophetic)  
 (Preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.)  
 IT **32701-89-6**  
 RL: PDPH (Prophetic)  
 (Preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.)  
 RN 32701-89-6 HCAPLUS  
 CN 1H-Pyrazole-4-acetic acid, 1-[1,1'-biphenyl]-4-yl-3-methyl-5-phenyl-, ethyl ester (CA INDEX NAME)



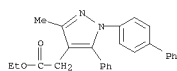
AB Title compds. [I; R2, R4 = H, A, halo, cycloalkyl, CF3, NO2, cyano, OCF3, A = OA, NHA, NA2, NR2; R3, R6 = (CH2)nHet, (CH2)nAr; R1 = H, organic residue; A = alkyl, alkoxy, alkenyl, alkenyloxyalkyl; Het = (substituted) (unsat.) mono- or bicyclic heterocyclyl, heteroatom-containing organic residue; Ar = (substituted) Ph; n = 0-5; X undefined], were claimed (no synthetic or biol. data).

IT **32701-89-6 1070643-43-4 1070643-44-5**  
**1070643-56-9 1070643-57-0 1070643-58-1**  
**1070643-59-2 1070643-60-5 1070643-61-6**  
**1070643-62-7 1070643-63-8 1070643-64-9**  
**1070643-65-0 1070643-66-1 1070643-67-2**  
**1070643-68-3 1070643-69-4 1070643-70-7**  
**1070643-71-8 1070643-72-9 1070643-73-0**

=> d bib abs hitstr l2l tot

L21 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on SIN  
 AN 1971:449072 HCAPLUS  
 DN 75:49072  
 OREF 75:7749a,7752a  
 TI Antiphlogistic, analgesic, and antipyretic substituted pyrazole-4-acetic acid derivatives  
 IN Rainer, Georg; Riedel, Richard; Klemm, Kurt  
 PA Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.  
 SO Ger. Offen., 44 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 PAN.CNI 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE-----1946370	A	19710422	1969DE-001946370	19690912
DE-----1946370	B2	19781109		
DE-----1946370	C3	19790726		
CH-----583707	A5	19770314	1973CH-000003460	19700828
CH-----587251	A5	19770429	1970CH-000012904	19700828
GB-----1307005	A	19730214	1970GB-000043147	19700909
NL-----7013384	A	19710316	1970NL-000013384	19700910
CA-----859838	A1	19741224	1970CA-000084873	19700910
SE-----385212	B	19760614	1970SE-000012345	19700910
ZA-----7006215	A	19710527	1970ZA-000006215	19700911
FR-----2070689	A5	19710917	1970FR-000033102	19700911
FR-----2070689	A1	19710917		
AT-----304534	B	19730110	1970AT-000008261	19700911
AT-----313274	B	19740211	1972AT-000001894	19700911
JP-----51033906	B	19760922	1976JP-000079421	19700911
JP-----53039435	B	19781021	1974JP-000062988	19740605
US-----4325962	A	19820420	1978US-000969872	19781215
PRAI 1969DE-001946370	A	19690912		
1970US-000072233	A3	19700914		
GI For diagram(s), see printed CA Issue.				
AB The title comps. (I, R, RL, R2 = H, alkyl, allyl, cycloalkyl, and variously substituted phenyl and benzyl, R3 = H, Me) were prepared by the reaction of hydrazines RNNH2 with dicarbonyl comps. R1CO(R2CO)CHCH(R3CO)2H (II) or by hydrolysis of esters, amides, nitriles, etc., of I. II were prepared by base-catalyzed condensation of 1,3-diketones with alkylbromoacetates. Forty examples were given and antiphlogistic and analgesic data reported.				
IT 32701-89-69				
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN 22701-89-6 HCAPLUS				
CN 1H-Pyrazole-4-acetic acid, 1-[1,1'-biphenyl]-4-yl-3-methyl-5-phenyl-, ethyl ester (CA INDEX NAME)				



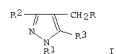


=> d bib abs hitstr 139 tot

L39 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2009 ACS on SIN

AN 1979:420496 HCAPLUS  
DN 91:20496  
OREF 91:3433a,3436a  
TI 4-Pyrazoleacetic acid derivatives  
IN Rainer, Georg  
PA Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.  
SO U.S., 21 pp.  
CODEN: UDXKAM  
DT Patent  
LA English  
FAN.CH1 3

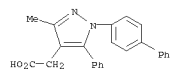
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US-----4146721	A	19790327	1970US-000072233	19700914
US-----4325962	A	19820420	1978US-000969872	19781215
PRAI 1969DE-001946370	A	19690912		
1970US-000072233	A3	19700914		
GI MARPAT 91:20496				



AB Pyrazoleacetic acid derivs. I (R = CO<sub>2</sub>H, alkoxycarbonyl, CONH<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = optionally substituted Ph, furyl, thienyl, naphthyl; R<sub>3</sub> = H, Ph, furyl) were prepared. Thus, CH<sub>2</sub>Ac<sub>2</sub> was treated with BrCH<sub>2</sub>CO<sub>2</sub>Et to give AcCHCHCHCO<sub>2</sub>Et which was cyclized with PhNHNH<sub>2</sub> to give I (R = CO<sub>2</sub>Et, R<sub>1</sub> = Ph, R<sub>2</sub> = R<sub>3</sub> = Me), which was hydrolyzed to the acid. I had antiinflammatory and analgesic activity. Thus, I (R = CO<sub>2</sub>H, R<sub>1</sub> = Ph, R<sub>2</sub> = R<sub>3</sub> = 2-furyl) had antiinflammatory ED<sub>50</sub> in the UV erythema test of 1.5 mg/kg orally and then analgesic ED<sub>50</sub> 50 mg/kg orally.

IT **32701-90-9P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of)

RN 32701-90-9 HCAPLUS  
CN 1H-Pyrazole-4-acetic acid, 1-[1,1'-biphenyl]-4-yl-3-methyl-5-phenyl- (CA INDEX NAME)



RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L39 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2009 ACS on SIN

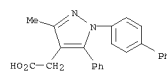
AN 1971:449072 HCAPLUS  
DN 75:49072  
OREF 75:7749a,7752a  
TI Antiphlogistic, analgesic, and antipyretic substituted pyrazole-4-acetic acid derivatives  
IN Rainer, Georg; Riedel, Richard; Klemm, Kurt  
PA Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.  
SO Ger. Offen., 44 pp.  
CODEN: GMAXBX  
DT Patent  
LA German  
FAN.CH1 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE-----1946370	A	19710422	1969DE-001946370	19690912
DE-----1946370	B2	19781109		
DE-----1946370	C3	19790726		
CH-----583707	A5	19770314	1973CH-000003460	19700828
CH-----587251	A5	19770429	1970CH-000012904	19700828
GB-----1307005	A	19730214	1970GB-000043147	19700909
NL-----7013384	A	19710316	1970NL-000013384	19700910
CA-----859838	A1	19741224	1970CA-000098173	19700910
SE-----385212	B	19760614	1970SE-000012345	19700910
ZA-----7006215	A	19710527	1970ZA-000006215	19700911
FR-----2070689	A5	19710917	1970FR-000033102	19700911
FR-----2070689	A1	19710917		
AT-----304534	B	19730110	1970AT-000008261	19700911
AT-----313274	B	19740211	1972AT-000001894	19700911
JP-----5303906	B	19760922	1976JP-000079421	19700911
JP-----53039435	B	19781021	1976JP-000062988	19740605
US-----4325962	A	19820420	1978US-000969872	19781215
PRAI 1969DE-001946370	A	19690912		
1970US-000072233	A3	19700914		

GI For diagram(s), see printed CA Issue.  
AB The title compds. (I, R, RL, R<sub>2</sub> = H, alkyl, allyl, cycloalkyl, and variously substituted phenyl and benzyl, R<sub>3</sub> = H, Me) were prepared by the reaction of hydrazines RNHNH<sub>2</sub> with dicarbonyl compds. R1CO(R2CO)CHCH(R3CO)H (II) or by hydrolysis of esters, amides, nitriles, etc., of I. II were prepared by base-catalyzed condensation of 1,3-diketones with alkylbromoacetates. Forty examples were given and antiphlogistic and analgesic data reported.

IT **32701-90-9P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 32701-90-9 HCAPLUS  
CN 1H-Pyrazole-4-acetic acid, 1-[1,1'-biphenyl]-4-yl-3-methyl-5-phenyl- (CA INDEX NAME)

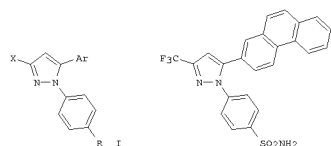


=> d bib abs hitrn fhitstr l35 tot

L35 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)  
 AN 2006:34006 HCAPLUS  
 DN 144:390911  
 TI Preparation of 1-phenyl-1H-pyrazole derivatives as PDK-1/AKT signaling  
 inhibitors for the treatment of cancer and restenosis  
 IN Chen, Ching-Shih  
 DA USA  
 SO U.S. Pat. Appl. Publ., 26 pp.  
 CODEN: USXKCO  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US-20060079566	A1	20060413	2004US-000957925	20041004 <--
CA-2566846	A1	20050519	2004CA-002566846	20041004 <--
WO-2005044130	A1	20050519	2004WO-US0032723	20041004 <--
WO-2005044130	A5	20050915		
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EP-1696907	A1	20060906	2004EP-000816902	20041004 <--
R: AT, BE, BG, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN-1889949	A	20070103	2004CN-000036007	20041004 <--
JP-2007527408	T	20070927	2006JP-000534245	20041004 <--
IN-200601131	A	20070831	2006IN-000001131	20060403 <--
KR-2006108651	A	20061018	2006KR-000708622	20060503 <--
US-20080146015	A1	20080619	2007US-000864612	20070928 <--
US-20080263039	A1	20081030	2008US-000118788	20080512 <--
PRAI 2003US-00508619P	P	20031003	<--	
2003US-00508614P	P	20031008	<--	
2004US-000957925	B1	20041004		
2004WO-US0032723	W	20041004		
2007US-000864612	A1	20070928		
CASREACT 144:390911; MARPAT 144:390911				

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GI

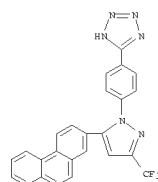


AB Title compds. I [wherein X = (halo)alkyl; Ar = Ph, biphenyl, phenanthrenyl, etc.; R = CN, CH<sub>2</sub>CN, 502NH<sub>2</sub>, etc.] and metabolites or pharmaceutically acceptable salts thereof were prepared as phosphoinositide-dependent kinase-1 (PDK-1/AKT) inhibitors. For instance, condensation of Et trifluoroacetate with 2-acetylphenanthrene using NaH as base in THF (90% yield) followed by cyclization with 4-hydrazinobenzene-1-sulfonamide hydrochloride in refluxing ethanol (80% yield) gave II. This product showed great potency in inhibiting PDK-1

L35 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)  
 AN 2006:34006 HCAPLUS  
 DN 144:390911  
 TI Preparation of 1-phenyl-1H-pyrazole derivatives as PDK-1/AKT signaling  
 inhibitors for the treatment of cancer and restenosis  
 IN Chen, Ching-Shih  
 DA USA  
 SO U.S. Pat. Appl. Publ., 26 pp.  
 CODEN: USXKCO  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US-20060079566	A1	20060413	2004US-000957925	20041004 <--
CA-2566846	A1	20050519	2004CA-002566846	20041004 <--
WO-2005044130	A1	20050519	2004WO-US0032723	20041004 <--
WO-2005044130	A5	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, SM, SN, SR, ST, SV, SW, SY, SZ, TD, TH, TJ, TM, TT, TZ, UA, UG, UZ, VC, VE, VU, WF, WO, XA, XB, XC, XD, XE, YU, ZA, ZB, ZI, ZJ, ZK, ZL, ZM, ZN, ZZ				
EP-1696907	A1	20060906	2004EP-000816902	20041004 <--
R: AT, BE, BG, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN-1889949	A	20070103	2004CN-000036007	20041004 <--
JP-2007527408	T	20070927	2006JP-000534245	20041004 <--
IN-200601131	A	20070831	2006IN-000001131	20060403 <--
KR-2006108651	A	20061018	2006KR-000708622	20060503 <--
US-20080146015	A1	20080619	2007US-000864612	20070928 <--
US-20080263039	A1	20081030	2008US-000118788	20080512 <--
PRAI 2003US-00508619P	P	20031003	<--	
2003US-00508614P	P	20031008	<--	
2004US-000957925	B1	20041004		
2004WO-US0032723	W	20041004		
2007US-000864612	A1	20070928		
CASREACT 144:390911; MARPAT 144:390911				

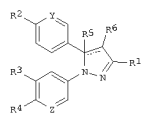
OS  
GI



L35 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)  
 AN 2006:325402 HCAPLUS  
 DN 145:103666  
 TI Preparation of pyrazoles as cyclooxygenase inhibitors  
 IN Fujisawa Pharmaceutical Co., Ltd., Japan  
 DA Aust. Pat. Appl., 68 pp.  
 CODEN: AUKXCH  
 DT Patent  
 LA English  
 FAN.CNT 1

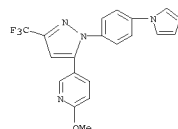
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU-2004200420	A1	20040930	2004AU-000200420	20040206 <--
2003AU-000901100	A	20030311	<--	
MARPAT 145:103666				

OS  
GI



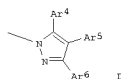
AB The title compds. I [R1 = halo, CN, alkylcarbonyl, etc.; R2 = halo, CN, alkyl, etc.; R3 = H, alkyl; R4 = halo, CN, NO<sub>2</sub>, alkyl, etc.; or R3 and R4 may form 2,3-dihydrofuryl; R5 = OH and R6 = H in case of single bond between carbon atoms to which R5 and R6 are attached; or R5 and R6 do not exist in case of double bond; Y = CH and Z = N, Y = N and Z = CH, or Y = N and Z = N], useful for treating and/or preventing inflammatory conditions, various pains, collagen disease, autoimmune diseases, various immunity diseases, thrombosis, cancer or neurodegenerative diseases, were prepared. Thus, treating a solution of 5-amino-2-methoxypyridine in 1N HCl with sodium nitrite and with tin (II) chloride dihydrate followed by addition of 4-(4,4-difluoro-3-oxobutanoyl)benzotrile and acetic acid afforded 324 5-(4-cyanophenyl)-3-difluoromethyl-1-(6-methoxy-3-pyridyl)-1H-pyrazole which showed IC<sub>50</sub> of <0.01 μM against COX-1. Pharmaceutical composition comprising the compound I is disclosed.

IT **896133-46-3P 896133-62-3P 896133-67-8P**  
**896133-68-9P 896133-69-0P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrazoles as cyclooxygenase inhibitors)  
 IT **896133-46-3P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrazoles as cyclooxygenase inhibitors)  
 RN 896133-46-3 HCAPLUS  
 CN Pyridine, 2-methoxy-5-[1-(4-(1H-pyrrol-1-yl)phenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



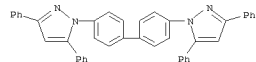
L35 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN  
 AN 2005:34107 HCAPLUS  
 DN 142:102889  
 TI Phosphorescent organic electroluminescent devices  
 IN Yoshitake, Osamu; Miyazaki, Hiroshi; Suzuki, Daisuke; Yamada, Hiroshi  
 PA Nippon Steel Chemical Co., Ltd., Japan; Japan Hydrizine Company Inc.  
 SO Jpn. Kokai Tokkyo Koho, 26 pp.  
 CODEN: JKKXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP--2005011804	A	20050113	2004JP-000155979	20040526 <--
PRAI 2003JP-000153194	A	20030529	<--	
OS MARPAT 142:102889				
GI				

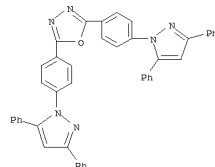


AB The device comprises, successively from the bottom, a substrate, an anode, organic layers including a light-emitting layer, and a cathode, wherein the light-emitting layer contains a dopant and a compound bearing 2-4 pyrazole substituents I (Ar4-6 = H, (substituted) aromatic hydrocarbyl, aromatic heterocycle; at least one of Ar4-6 = H) as a host. The device durably provides high luminance light at high emission efficiency.

IT 53148-57-5P 019078-34-7P 819078-35-8P  
 RL: DEV (Device component use); IMF (Industrial manufacture); PREP (Preparation); USES (Uses)  
 IT (host in emitting layer; in phosphorescent electroluminescent device)  
 IT 53148-57-5P  
 RL: DEV (Device component use); IMF (Industrial manufacture); PREP (Preparation); USES (Uses)  
 IT (host in emitting layer; in phosphorescent electroluminescent device)  
 RN 53148-57-5 HCAPLUS  
 CN 1H-Pyrazole, 1,1'-(1,1'-biphenyl-4,4'-diyl)bis(3,5-diphenyl- (9CI) (CA INDEX NAME)

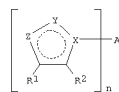


L35 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)



L35 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN  
 AN 2004:1015637 HCAPLUS  
 DN 142:13468  
 TI Pyrazoles, their charge transporting materials, and organic electroluminescent devices using them  
 IN Sato, Itsuki; Yoneyama, Tomio; Sato, Hideki  
 PA Mitsubishi Chemical Corp., Japan  
 SO Jpn. Kokai Tokkyo Koho, 42 pp.  
 CODEN: JKKXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP--2004231588	A	20041125	2003JP-000130432	20030508 <--
PRAI 2003JP-000130432				
OS MARPAT 142:13468				
GI				

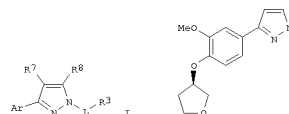


AB The pyrazoles are I [X = C; N; Y, Z = CR3; N; NR4; R3, R4 = H, monovalent substituent; one of R1 and R2 = aromatic hydrocarbyl, aromatic heterocyclyl; the other of R1 and R2 = H, Cl-5 alkyl; Z1 of R1-R4 = monovalent electron-withdrawing group showing Hammett constant (σp) 0.00 < σp < 0.90, aromatic heterocyclyl, or monovalent group substituted with the aforementioned electron-withdrawing group or aromatic heterocycle; A = n-valent linkage containing Z1 groups chosen from CO, SO2, and aromatic heterocyclylene, or substituted with the aforementioned electron-withdrawing group and/or aromatic heterocycle; n = 1-4]. Organic electroluminescent devices having hole blocking layers containing the pyrazoles show high luminescence efficiency. Thus, 2,5-bis[4-(3,5-diphenylpyrazol-1-yl)phenyl]-1,3,4-oxadiazole was manufactured and its ionization potential was measured.

IT 796884-21-4P  
 RL: DEV (Device component use); IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses) (manufacture of pyrazoles as charge transporting materials for organic electroluminescent devices)  
 IT 796884-21-4P  
 RL: DEV (Device component use); IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses) (manufacture of pyrazoles as charge transporting materials for organic electroluminescent devices)  
 RN 796884-21-4 HCAPLUS  
 CN 1,3,4-Oxadiazole, 2,5-bis[4-(3,5-diphenyl-1H-pyrazol-1-yl)phenyl]- (CA INDEX NAME)

L35 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN  
 AN 2004:927199 HCAPLUS  
 DN 141:379922  
 TI Preparation of pyrazole derivatives as selective phosphodiesterase 4 inhibitors  
 IN Hopper, Allen; Ruester, Erik; Dunn, Robert; Conticello, Richard  
 PA Memory Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 186 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO--2004094411	A1	20041104	2004WO-US0011899	20040416 <--
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WM: BW, GH, GM, KE, LS, MW, ME, SD, SL, SZ, TG, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LJ, MK, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU--2004232973	A1	20041104	2004AU-000232973	20040416 <--
CA--20040229918	A1	20041104	2004CA-000229918	20040416 <--
US--20040229918	A1	20041118	2004US-000825611	20040416 <--
US--20040229918	B2	20070605		
EP--20040229918	A1	20060308	2004EP-000759965	20040416 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, IL, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR--2004009888	A	20060523	2004BR-00009888	20040416 <--
CN--2004009888	A	20060726	2004CN-080017033	20040416 <--
JP--2006523719	T	20061019	2006JP-000513094	20040416 <--
MX--2005011200	A	20051214	2005MX-000011200	20051018 <--
IN--200504777	A	20070817	2005IN-00004777	20051019 <--
US--20070203197	A1	20070830	2007US-000797151	20070501 <--
US--20070203197	B2	20090224		
PRAI 2003US-00463725P	P	20030418	<--	
2004US-000825611	A3	20040416		
2004WO-US0011899	W	20040416		
OS MARPAT 141:379922				
GI				



AB Title (hetero)aryl pyrazole compds. I [wherein Ar = substituted Ph, pyridinyl, benzofuran-, benzopyrazolyl, pyrazolo[4,3-b]pyridinyl; L = bond, (CH2)nCONH, (CH2)nCON(alkyl), (CH2)nNHCO, (CH2)nCONH502, (CH2)nSO2NH, (CH2)nSO2, (CH2)nCO2, (un)substituted alkylene optionally interrupted by O, NH, S; n = 0-3; R3 = H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl; R7, R8 = independently H, halo, (un)substituted alkyl, alkenyl, alkynyl; and pharmaceutically acceptable salts thereof] were prepared. The invention compds. exhibited improved phosphodiesterase 4 (PDE4) inhibition as compared to compds. such as rolipram and showed selectivity with regard to inhibition of other classes of PDEs. For example, 3-hydroxy-4-methoxybenzaldehyde was condensed with (S)-3-hydroxytetrahydrofuran using PPh3 and DIAD in THF to give (R)-4-methoxy-2-[(tetrahydrofuran-3-yl)oxy]benzaldehyde (66%). Reaction of the aldehyde with diethoxyphosphorylacetaldehyde tosylhydrazide in the presence of NaH in THF provided the desired pyrazole II (57%). Compds. of

L35 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
the invention blocked the human PDE4 mediated conversion of cAMP to  
adenosine with IC50 values ranging from 10 nM to 5000 nM. Thus, I and  
their pharmaceutical compns. are useful for enhancing cognition and  
treating psychosis, allergic conditions, or inflammatory disease (no  
data).

IT **784191-27-1P**, (R)-5-[4-Methoxy-3-[(tetrahydrofuran-3-  
yloxy)phenyl]-1-[4-(4-morpholinyl)phenyl]-1H-pyrazole  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

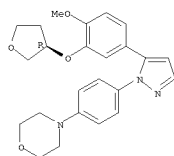
(PDE4 inhibitor; preparation of pyrazole derivs. as selective PDE4  
inhibitors for enhancing cognition and treating psychosis, allergic  
conditions, or inflammatory disease)

IT **784191-27-1P**, (R)-5-[4-Methoxy-3-[(tetrahydrofuran-3-  
yloxy)phenyl]-1-[4-(4-morpholinyl)phenyl]-1H-pyrazole  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(PDE4 inhibitor; preparation of pyrazole derivs. as selective PDE4  
inhibitors for enhancing cognition and treating psychosis, allergic  
conditions, or inflammatory disease)

RN **784191-27-1** HCAPLUS  
CN Morpholine, 4-[5-[4-methoxy-3-[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-  
1H-pyrazol-1-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:841775 HCAPLUS

DN 141:350163

TI Preparation of arylpyrazoles as serotonin 5-HT2A and 5-HT2C receptor

antagonists

IN Schlemann, Kai; Ackermann, Karl-August; Arlt, Michael; Finsinger, Dirk;

Schadt, Oliver; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried,

Christoph

PA Merck Patent GmbH, Germany

SO Ger. Offen., 102 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE-----10315572	A1	20041014	2003DE-100015572	20030405 <--
AU---2004228120	A1	20041021	2004AU-000228120	20040308 <--
CA---25521201	A1	20041021	2004CA-002521201	20040308 <--
WO---2004089931	A1	20041021	2004WO-EP0002353	20040308 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CY, DE, DK, DM, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, GU, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GW, GQ, GU, ML, MR, NE, NG, TD, TG			
EP-----1626967	A1	20060222	2004EP-000718277	20040308 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
BR---2004009164	A	20060411	2004BR-00009164	20040308 <--
CN---1760551	A	20060503	2004CN-000050572	20040308 <--
JP---2006522035	T	20060928	2006JP-000504584	20040308 <--
US-20060264419	A1	20061123	2005US-000552065	20051005 <--
PRAI 200JDE-100015572	A	20030405	<--	
2004WO-EP0002353	W	20040308	<--	
OS MAPPAT 141:350163				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Preparation of title compds. I [X = CH, N; R1 = H, halo, (CH2)nHet, etc.; R2 = (CH2)nHet, (CH2)nHet, cycloalkyl, etc.; R3, R4 = H, (CH2)nHet, CMO, etc.; n = 0-5; Ar = (un)substituted Ph; Het = (un)substituted monocarb., bicyclic-heterocycle] and their pharmaceutically acceptable salts were prepared. For example, sodium triacetoxyporonylhydride mediated reductive amination of 1-methyl-piperazine and aldehyde II, e.g., prepared from 2-fluoro-4,γ-dioxo-benzenebutanoic Et ester in 4-steps, afforded the dihydrochloride salt of arylpyrazole III. In 5-HT2A receptor binding assays, 167-examples of compds. I exhibited IC50 values ranging from 0.015-4.7x10<sup>-7</sup>M. Compds. I are claimed suitable as ligands of 5-HT receptors.

IT **508219-21-4** **508219-26-5** **508219-29-1**  
**508219-29-2** **508219-30-5** **508219-38-3**  
**508219-39-4** **508219-41-8** **508219-43-0**  
**508219-48-5** **508219-51-0** **508219-52-1**  
**508219-54-3** **508219-55-4** **508219-56-5**  
**508219-57-6** **508219-58-7** **508219-59-8**  
**508219-60-1** **508219-61-2** **508219-62-3**  
**508219-64-5** **508219-65-5** **508219-66-7**  
**508219-70-3** **508219-71-4** **508219-72-5**  
**508219-74-7** **508219-75-8** **508219-76-9**  
**508219-77-0** **508219-78-1** **508219-85-0**  
**770739-06-5** **770739-09-8** **770739-77-0**

L35 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)

**1053727-36-8** **1053727-38-0** **1053727-39-1**  
**1053727-47-1** **1053727-90-4** **1053728-38-3**  
**1053728-39-4** **1053728-42-9** **1053728-49-6**  
**1053729-34-2** **1053729-37-5** **1053729-39-7**  
**1053729-41-1** **1053729-42-2** **1053729-43-3**  
**1053729-48-8** **1053729-51-3** **1053729-58-0**  
**1053729-59-1** **1053729-62-6** **1053729-74-0**  
**1053729-76-2** **1053729-78-4** **1053729-81-9**  
**1053729-82-0** **1053729-83-1** **1053729-86-4**  
**1053729-89-7** **1053729-90-0** **1053729-92-2**  
**1053729-94-4** **1053729-95-5** **1053729-98-8**  
**1053729-99-9** **1053730-01-0** **1053730-02-1**  
**1053730-05-4** **1053730-06-5** **1053730-08-7**  
**1053730-09-8** **1053730-13-4** **1053730-18-9**  
**1053730-20-3** **1053730-22-5** **1053730-26-9**  
**1053730-27-0** **1053730-28-1** **1053730-30-5**  
**1053730-32-7** **1053730-34-9** **1053730-35-0**  
**1053730-37-2** **1053730-38-3** **1053730-39-4**  
**1053730-40-7** **1053730-48-5** **1053730-49-6**  
**1053730-50-4** **1053730-57-6** **1053730-59-5**  
**1053730-60-1** **1053730-62-3** **1053730-66-7**  
**1053730-71-4** **1053730-72-5** **1053730-76-9**  
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RL: PRPH (Prophetic)  
(Preparation of arylpyrazoles as serotonin 5-HT2A and 5-HT2C receptor antagonists)

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L35 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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L35 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)

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RL: PRPH (Prophetic)

(Preparation of arylpyrazoles as serotonin 5-HT<sub>2A</sub> and 5-HT<sub>2C</sub> receptor antagonists)

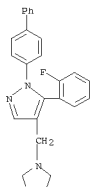
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RL: PRPH (Prophetic)

(Preparation of arylpyrazoles as serotonin 5-HT<sub>2A</sub> and 5-HT<sub>2C</sub> receptor antagonists)

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L35 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)



L35 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOX (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arylpyrazoles as serotonin 5-HT<sub>2A</sub> and 5-HT<sub>2C</sub> receptor antagonists)

II 508219-21-4

RL: PRPH (Prophetic)

(Preparation of arylpyrazoles as serotonin 5-HT<sub>2A</sub> and 5-HT<sub>2C</sub> receptor antagonists)

RN 508219-21-4 HCAPLUS

CN Tolazolidine, 3-[[1-[1,1'-biphenyl]-4-yl]-5-(2-fluorophenyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

L35 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN

AN 2004:841772 HCAPLUS

DN 141:332186

II Preparation of arylpyrazoles as serotonin 5-HT<sub>2A</sub> and/or 5-HT<sub>2C</sub> receptor antagonists.

IN Schadt, Oliver; Arit, Michael; Finsinger, Dirk; Schiemann, Kai; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph

PA Merck Patent GmbH, Germany

SO Ger. Offen., 78 pp.

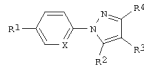
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DT Patent

LA German

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2003DE-10015569	A	20030405	<--	
2004WO-EP0002453	W	20040310		
OS MARPAT 141:332186				
GI				



AB Title compds. [I; R1 = H, A, halo, (CH<sub>2</sub>)nAr, cycloalkyl, CF<sub>3</sub>, NO<sub>2</sub>, cyano, C(NH)NOH, OCF<sub>3</sub>; R2 = (CH<sub>2</sub>)nHet, (CH<sub>2</sub>)nAr, cycloalkyl, CF<sub>3</sub>; R3, R4 = H, (CH<sub>2</sub>)nCO<sub>2</sub>R<sub>5</sub>, (CH<sub>2</sub>)nCO<sub>2</sub>H, CH<sub>3</sub>, (CH<sub>2</sub>)nOR<sub>5</sub>, (CH<sub>2</sub>)nHet, CH<sub>3</sub>NO<sub>2</sub>, etc.; R5 = H, A; A = alkyl, alkoxy, alkoxyl, alkoxyalkyl; Ar = (substituted) Ph; Het = (aromatic) mono- or bicyclic heterocyclyl, heteroatom-containing organic residue; X = N, CH<sub>2</sub> with provisos, were prepared Thus.

[I-(4'-fluorobiphenyl-4-yl)-1H-pyrazol-4-yl]methylmethyl(1-methylpyrrolidin-3-yl)amine showed 5-HT<sub>2A</sub> activity with IC<sub>50</sub> = 5.14E-10.

II 1054281-99-0 1054282-00-6 1054282-01-7  
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L35 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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 RL: PRPH (Prophetic)  
 (Preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.)

L35 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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 RL: PRPH (Prophetic)  
 (Preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.)

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 RL: PRPH (Prophetic)  
 (Preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.)

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L35 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)

receptor antagonists.)

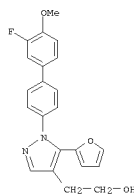
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L35 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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 RL: PHC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (prepn. of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.)

II 1054281-99-0  
 RL: PRPH (Prophetic)  
 (Preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.)

RN 1054281-99-0 HCAPLUS  
 CN 1H-Pyrazole-6-ethanol, 1-(3'-fluoro-4'-methoxy[1,1'-biphenyl]-4-yl)-5-(2-furanyl)- (CA INDEX NAME)





L35 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN

AN 2004:780554 HCAPLUS

DN 141:301422

TI Preparation of heterocyclic ligands for acid-stabilized insulin analogs

IN Ostergaard, Soren; Olsen, Helle Birx; Kaarholm, Niels C.; Madsen, Peter;

Jakobsen, Palle; Ludvigsen, Svend; Schluckebier, Gerd; Steensgaard, Dorte

Bjerre; Petersen, Anders Klarskov

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 473 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO--2004080480	A1	20040923	2004WO-DK0000158	20040311 <--
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PW: BW, CH, GM, GE, LS, MW, NE, SD, SL, SE, TE, UG, ZM, AM, AE, BY, KG, KE, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU--2004218808	A1	20040923	2004AU-000218808	20040311 <--
CA-----2522818	A1	20040923	2004CA-002522818	20040311 <--
EP-----1610812	A1	20060104	2004EP-000719368	20040311 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CL, EE, HU, PL, SK				
BR--2004008229	A	20060221	2004BR-000008229	20040311 <--
CN-----1787833	A	20060614	2004CN-080012690	20040311 <--
JP--2007523842	T	20070823	2006JP-000504320	20040311 <--
US-20060049033	A1	20060330	2005US-00027760	20050912 <--
NO--2005004555	A	20051117	2005NO-000004555	20051004 <--
PRAI 2003DK-000000365	A	20030311	<--	
2003US-0455400P	P	20030317	<--	
2004WO-DK0000158	A	20040311		

OS MARPAT 141:301422

AB Novel ligands for the His-B10 Zn<sup>2+</sup> sites of the R-state insulin hexamer

that are capable of prolonging the action of insulin preps. are

disclosed. A mixture of 4-aminobenzonitrile, sodium azide and ammonium

chloride in DMF was heated at 125° for 16 h. The cooled mixture was

filtered and the filtrate was concentrated to give

5-(4-aminophenyl)-2H-tetrazole. This was used as the ligand for His-B10

Zn<sup>2+</sup> sites of the R-state insulin hexamer.

IT 1055980-10-3

RL: PRPH (Prophetic)

(Preparation of heterocyclic ligands for acid-stabilized insulin

analogues)

IT 1055980-10-3

RL: PRPH (Prophetic)

(Preparation of heterocyclic ligands for acid-stabilized insulin

analogues)

RN 1055980-10-3 HCAPLUS

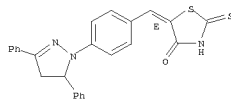
CN 4-Thiazolidinone, 5-[[4-(4,5-dihydro-3,5-diphenyl-1H-pyrazol-1-

yl)phenyl]methylene]-2-thioxo-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

L35 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN

(Continued)



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN

AN 2004:515606 HCAPLUS

DN 141:79119

TI Organic electroluminescent device material and organic electroluminescent

device using same

IN Iwakuma, Toshinori; Tomita, Seiji; Arakane, Takashi

PA Idemitsu Kosan Co., Ltd., Japan

SO PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DT Patent

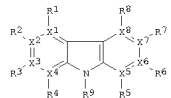
LA Japanese

FAN.CNT 1

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WO--2004053019	A1	20040624	2003WO-JP0015874	20031211 <--
W: CN, IN, JP, KR, US				
PW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
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R: AT, BE, BG, CH, DE, DK, EE, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
CN-----1723258	A	20060118	2003CN-080105706	20031211 <--
CN-----100338172	C	20070919		
US-20060251918	A1	20061109	2005US-000538023	20050607 <--
IN--200501208	A	20070622	2005IN-000001208	20050610 <--
PRAI 2002JP-000360134	A	20021212	<--	
2003WO-JP0015874	W	20031211	<--	

OS MARPAT 141:79119

GI



AB An organic electroluminescent (EL) device material composed of a compound

having a specific N-containing condensed ring structure is disclosed. The

organic electroluminescent device material is represented by I (X1-8 = C and

N, at least one of X1-8 is nitrogen; R1-8 connected to carbon is

substitution groups that may be linked to form a ring when the

substitution groups are located next to each other, R1-8 connected to

nitrogen represents lone pair electrons; R9 = substitution group). An

organic EL device wherein 21 organic thin-film layers are interposed

between a cathode and an anode and at least 1 of the organic thin-film layers

contains the organic EL device material is also disclosed. The organic EL

device material enables to form a long-life organic EL device which uses

phosphorescent emission and has a high luminous efficiency. The organic EL

device is fabricated using this organic EL device material.

IT 710948-10-0P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP

(Preparation); USES (Uses)

(phosphorescent organic electroluminescent device material)

IT 710948-10-0P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP

(Preparation); USES (Uses)

(phosphorescent organic electroluminescent device material)

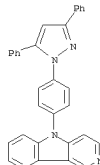
RN 710948-10-0 HCAPLUS

CN 5H-Pyrido[4,3-b]indole, 5-[[4-(3,5-diphenyl-1H-pyrazol-1-yl)phenyl]- (CA

INDEX NAME)

L35 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN

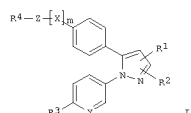
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L35 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2004:493684 HCAPLUS  
 DN 141:54327  
 TI Preparation of pyrazole derivatives useful as COX-1 inhibitors  
 IN Shirai, Fumiuyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo;  
 Nakamura, Katsuya  
 PA Fujisawa Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 436 pp.  
 CODEN: PFXK32  
 DT Patent  
 LA English  
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO-2004050632	A1	20040617	2003WO-JP0014489	20031114 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, ME, NL, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MM, MG, SD, SL, SE, TE, UG, ZM, ZW, AM, AZ, BY, BG, BE, BR, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LJ, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA-----505945	A1	20040617	2003CA-002505945	20031114 <--
AU-2003302635	A1	20040623	2003AU-000302635	20031114 <--
EP-----1567503	A1	20050831	2003EP-000812289	20031114 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR-2003016332	A	20050927	2003BR-000016332	20031114 <--
CN-----1717393	A	20060104	2003CN-080104548	20031114 <--
JP-2006514095	T	20060427	2004JP-000570723	20031114 <--
NZ-----540515	A	20080131	2003NZ-000540515	20031114 <--
MX-2005005742	A	20050816	2005MX-00005742	20050530 <--
IN-----200501453	A	20070622	2005IN-000001453	20050629 <--
NO-2005003215	A	20050901	2005NO-00003215	20050630 <--
PRAI 2002AU-000953019	A	20021202	<--	
2002AU-000953602	A	20021230	<--	
2003AU-000902015	A	20030429	<--	
2003WO-JP0014489	W	20031114	<--	

OS MARPAT 141:54327  
 GI



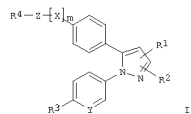
AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc.; R4 = H, CN, OH, etc.; X = 0, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-2 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

IT **705938-01-4P**  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of pyrazole derivs. useful as COX-1 inhibitors)

L35 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2004:493568 HCAPLUS  
 DN 141:54325  
 TI Preparation of pyrazole derivatives useful as COX-1 inhibitors  
 IN Shirai, Fumiuyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo;  
 Nakamura, Katsuya  
 PA Fujisawa Pharmaceutical Co., Ltd., Japan  
 SO U.S. Pat. Appl. Publ., 142 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US-20040116475	A1	20040617	2003US-000706999	20031114 <--
US-----7183306	B2	20070227		
CN-----1717393	A	20060104	2003CN-080104548	20031114 <--
US-20070112037	A1	20070517	2006US-000610230	20061213 <--
PRAI 2002AU-000953019	A	20021202	<--	
2002AU-000953602	A	20021230	<--	
2003AU-000902015	A	20030429	<--	
2003US-000706999	A3	20031114	<--	

OS MARPAT 141:54325  
 GI



AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc.; R4 = H, CN, OH, etc.; X = 0, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-2 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

IT **705938-01-4P**  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of pyrazole derivs. useful as COX-1 inhibitors)

IT **705938-02-5P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrazole derivs. useful as COX-1 inhibitors)

IT **705938-01-4P**  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of pyrazole derivs. useful as COX-1 inhibitors)

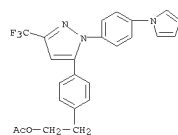
RN 705938-01-4 HCAPLUS  
 CN Benzenethanol, 4-[1-[4-(1H-pyrr-1-yl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, 1-acetate (CA INDEX NAME)

L35 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 IT **705938-02-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrazole derivs. useful as COX-1 inhibitors)

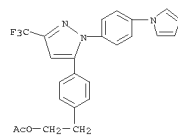
IT **705938-01-4P**  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of pyrazole derivs. useful as COX-1 inhibitors)

RN 705938-01-4 HCAPLUS  
 CN Benzenethanol, 4-[1-[4-(1H-pyrr-1-yl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, 1-acetate (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN  
 AN 2004:355031 HCAPLUS  
 DN 140:365418  
 TI Material for organic electroluminescence element, and organic electroluminescence element using the same  
 IN Tomita, Seiji; Iwakuma, Toshihiro; Arakane, Takashi; Yasuda, Hiroya; Hosokawa, Chishio  
 PA Idemitsu Kosan Co., Ltd., Japan  
 SO PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

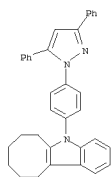
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2004035709	A1	20040429	2003WO-JP0013186	20031015 <--
W: CN, IN, JP, KR, US				
PW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
EP-----1555305	A1	20050720	2003EP-000754133	20031015 <--
R: AT, BE, CH, DE, DK, EE, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CS, EE, HU, SK				
CN-----1705731	A	20051207	2003CN-000101772	20031015 <--
IN-----200500654	A	20070622	2005IN-000000654	20050418 <--
US-20060141284	A1	20060629	2005US-000532001	20050810 <--
PRAI 2002JP-000305375	A	20021021	<--	
2003WO-JP0013186	W	20031015	<--	

OS MARPAT 140:365418  
 AB A material for an organic electroluminescence (EL) element comprising a compound having a specific N-containing condensed ring structure; and an organic EL element which comprises a cathode, an anode and, sandwiched between them, 21 of organic thin film layers, wherein at least 1 organic thin layer is an organic EL layer containing the above material for an organic EL element. The material for an organic EL element can provide an organic EL element being capable of achieving high luminous efficiency with a low elec. voltage.

IT 682801-12-3P  
 RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)  
 (host material for phosphorescent guest in; phosphorescent organic electroluminescent device)

IT 682801-12-3P  
 RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)  
 (host material for phosphorescent guest in; phosphorescent organic electroluminescent device)

RN 682801-12-3 HCAPLUS  
 CN 38-Cyclooct[b]indole, 5-[4-(3,5-diphenyl-1H-pyrazol-1-yl)phenyl]-6,7,8,9,10,11-hexahydro- (CA INDEX NAME)

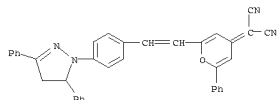


RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)  
 (Preparation); USES (Uses)  
 (red org. light-emitting compd. and org. light-emitting device comprising the same)

IT 606127-16-6P  
 RL: DEV (Device component use); PNU (Preparation, unclassified); PREP (Preparation); USES (Uses)  
 (red organic light-emitting compound and organic light-emitting device comprising the same)

RN 606127-16-6 HCAPLUS  
 CN Propanedinitrile, 2-[2-[2-[4-(4,5-dihydro-3,5-diphenyl-1H-pyrazol-1-yl)phenyl]ethenyl]-6-phenyl-4H-pyran-4-ylidene]- (CA INDEX NAME)

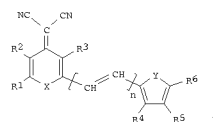


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN  
 AN 2003:757790 HCAPLUS  
 DN 139:283126  
 TI Red organic light-emitting compound and organic light-emitting device comprising the same  
 IN Kim, Ki-seok; Nwang, Ha-geun  
 PA Neoview Co., Ltd., S. Korea  
 SO PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO--2003078542	A1	20030925	2003WO-KR0000536	20030319 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, ME, NI, NO, NE, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
PW: GH, GM, GR, LS, MW, ME, SD, SE, SZ, TG, UG, ZM, AM, AE, BY, KG, KE, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR--2003075497	A	20030926	2003KR-000014757	20030319 <--
KR--2004069436	A	20040806	2003KR-000005827	20030129 <--
AU--2003215943	A1	20030929	2003AU-000215943	20030319 <--
US-20060022584	A1	20060602	2004US-000507905	20040916 <--
US-----7211335	B2	20070501		
PRAI 2002KR-000014757	A	20020319	<--	
2003KR-000005827	A	20030129	<--	
2003WO-KR0000536	W	20030319	<--	

OS MARPAT 139:283126  
 GI

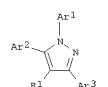


AB A red organic light-emitting compound with the formula I which has a superior heat-resistance and is capable of adjusting the color of the emitted light, and an organic light-emitting device including the compound are disclosed. The organic light-emitting compound has the structure of the following chemical formula, and the organic light-emitting device includes the 1st electrode having a high work function; the 2nd electrode having a low work function; and at least one organic layer formed between the 1st electrode and the 2nd electrode, which includes the red organic light-emitting compound, wherein, X is C, O, S, SO2 or N; Y is S or -CR11 = CR12; R1, R2, R3, R11 and R12 can be the same or different, and are H, alkyl group of 1 to 20 C atoms, alkoxy group of 1 to 5 C atoms, aryl or heteroaryl group of 4 to 24 C atoms, heterocyclic group of 4 to 6 C atoms, or fused ring group of 4 to 24 C atoms; R4 and R5 can be the same or different, and are the functional group defined for R1 or O; when R4 and R5 are O, R4 and R5 can be connected to form a heterocyclic ring; is the functional group defined for R1 or amine group; and n is 1, 2 or 3.

IT 606127-16-6P 606127-17-7P 606127-19-9P  
 606127-21-2P 606127-23-5P 606127-25-7P  
 RL: DEV (Device component use); PNU (Preparation, unclassified); PREP

L35 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN  
 AN 2003:279804 HCAPLUS  
 DN 138:294714  
 TI Organic electroluminescent device using pyrazole or pyrazoline  
 IN Suzuki, Koichi; Ueno, Kazunori; Senoo, Akihiro  
 PA Canon Inc., Japan  
 SO Jpn. Kokai Tokkyo Koho, 25 pp.  
 CODEN: JKKXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP--2003109765	A	20030411	2001JP-000300548	20010928 <--
PRAI 2001JP-000300548		20010928	<--	
OS MARPAT 138:294714				

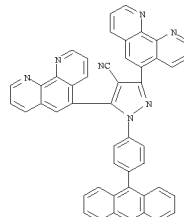


AB The invention refers to an organic electroluminescent device comprising pyrazole or pyrazoline I (R1 = H, alkyl, (un)substituted aralkyl, aryl, heterocyclic, condensed polycyclic aromatic or heterocyclic; Ar1-3 = (un)substituted aryl, heterocyclic, condensed polycyclic aromatic or heterocyclic; at least two of R1, Ar1-3 are (un)substituted condensed polycyclic aromatic or heterocyclic groups).

IT 504414-90-8 504415-11-6  
 RL: DEV (Device component use); USES (Uses)  
 (organic electroluminescent device using pyrazole or pyrazoline)

IT 504414-90-8  
 RL: DEV (Device component use); USES (Uses)  
 (organic electroluminescent device using pyrazole or pyrazoline)

RN 504414-90-8 HCAPLUS  
 CN 1H-Pyrazole-4-carbonitrile, 1-[4-(9-anthracenyl)phenyl]-3,5-di-1,10-phenanthroline-5-yl- (CA INDEX NAME)



L35 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN

AN 2003:074562 HCAPLUS

DN 138:1304276

PI Preparation of pyrazoles as glycine transporter protein inhibitors for the treatment of neurodegenerative diseases

TA Merck Patent G.m.b.H., Germany; Yamanouchi Pharmaceutical Co.

SO Ger. Offen., 62 pp.

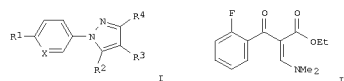
CODEN: GWXXBX

Patent

LA German

FAN, CNT 1

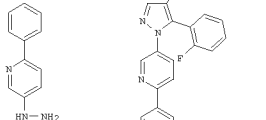
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE-----10149370	A1	20030410	2001DE-100049370	20011006 <--
WO-20030321435	A1	20030417	2002WO-EP0010172	20020911 <--
W:	AZ, AG, AD, AM, AT, AU, AZ, BA, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LR, LS, LT, LU, LV, MA, MD, ME, MK, MR, MW, MX, MY, NO, NE, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GM, GM, KE, LS, MW, ME, SD, SL, SE, TG, UC, EM, ZW, AM, AZ, BY, KG, KE, MD, RU, TJ, TM, AT, BG, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU-2002342675	A1	20030422	2002AU-000342675	20020911 <--
PRAI 2001DE-100049370	A	20011006	<--	
2002WO-EP0010172	W	20020911	<--	
OS MARPAT 138:1304276				
GI				



I



II



III



IV

AB Title compds. I [X = CH, N; R1 = H, A, halo, etc.; R2 = Ph, p-chlorophenyl; R3, R4 = H, (CH2)ncO2R5, CHO, etc.; R5 = H, A; A = alkyl, alkenyl, alkoxyalkyl, etc.; n = 0-5] and their pharmaceutically acceptable salts were prepared. For example, Condensation of enamine II e.g., prepared from 1,1-dimethoxy-N,N-dimethylmethanamine and 2-fluoro-β-oxo-benzenebutanoic acid Et ester, and aryl hydrazine III, e.g., prepared from 2-chloro-5-nitropyridine in 3-steps, provided pyrazole IV (no yield provided). In glycine transporter protein inhibition studies, approx. 71-examples of compds. I exhibited IC50 values ranging from 0.15 - 8.7 μM. e.g., the IC50 value of pyrazole IV = 2.5 μM. Compds. I are claimed useful for the treatment of schizophrenia,

L35 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)

depression, etc.

II 774583-04-9 774583-16-3 774583-73-2

774583-77-6 774583-80-1 774583-81-2

774583-82-3 774583-86-7 774583-87-8

774583-88-9 774583-89-0 774583-90-3

774583-91-4 774583-92-5 774583-93-6

774583-94-7 774583-95-8 774584-02-0

774584-06-4 774584-10-0 774584-13-2

774584-16-6 774584-18-8 774584-22-4

774584-23-5 774584-24-6 774584-25-7

774584-26-8 774584-31-5 774584-32-6

774584-67-7 774585-15-8 774585-48-7

774585-60-3 774585-62-8 1053726-50-3

1053726-51-4 1053726-52-5 1053726-53-6

1053726-56-9 1053726-58-1 1053726-62-7

1053726-63-8 1053726-64-9 1053726-65-0

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L35 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)

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RL: PRPH (Proprietary)

(Preparation of pyrazoles as glycine transporter protein inhibitors for the treatment of neurodegenerative diseases)

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L35 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2009 ACS ON STN (Continued)

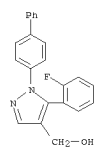
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RL: PRPH (Proprietary)

(Preparation of pyrazoles as glycine transporter protein inhibitors for the treatment of neurodegenerative diseases)

II 1063719-40-3  
RL: PRPH (Proprietary)  
(Preparation of pyrazoles as glycine transporter protein inhibitors for the treatment of neurodegenerative diseases)  
II 508219-76-9P, 5-(2-Fluorophenyl)-1-(6-phenylpyridin-3-yl)-1H-pyrazol-4-carboxylic acid ethyl ester  
RL: PAC (Pharmaceutical activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of pyrazoles as glycine transporter protein inhibitors for the treatment of neurodegenerative diseases)  
II 508219-08-7P 508219-09-8P 508219-10-1P  
508219-11-2P 508219-12-3P 508219-13-4P  
508219-14-5P 508219-15-6P  
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508219-19-0P 508219-20-1P 508219-21-2P  
508219-22-3P 508219-23-4P 508219-24-5P  
508219-25-6P 508219-26-7P 508219-27-0P  
508219-28-1P, 3-[1-Biphenyl-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]acrylic acid 508219-29-2P  
3-[1-Biphenyl-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]acrylic acid ethyl ester 508219-30-5P, 3-[1-Biphenyl-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]prop-2-en-1-ol 508219-31-6P  
4-[2-[1-Biphenyl-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]-ethyl]morpholine 508219-32-7P 508219-33-8P 508219-34-9P  
508219-35-0P, 4-[3-[1

L35 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2009 ACS on STM (Continued)  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of pyrazoles as glycine transporter protein inhibitors for the  
treatment of neurodegenerative diseases)  
IT 774583-04-9  
RL: PRPH (Prophetic)  
(Preparation of pyrazoles as glycine transporter protein inhibitors for  
the treatment of neurodegenerative diseases)  
RN 774583-04-9 HCAPLUS  
CN 1H-Pyrazole-4-methanol, 1-[1,1'-biphenyl]-4-yl-5-(2-fluorophenyl)- (CA  
INDEX NAME)



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FILE 'USPAT2' ENTERED AT 11:49:02 ON 06 MAR 2009
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148 ANSWER 1 OF 2 USPATFULL on STN  
 AN 20071216 USPATFULL  
 TI Substituted pyrazole compounds  
 IN **Schedt, Oliver**, Rodenbach, GERMANY, FEDERAL REPUBLIC OF  
 Arit, Michael, Alsbach, GERMANY, FEDERAL REPUBLIC OF  
 Pinsinger, Dirk, Darmstadt, GERMANY, FEDERAL REPUBLIC OF  
**Schlemann, Kai**, Seeheim-Jugenheim, GERMANY, FEDERAL REPUBLIC OF  
 OF  
**Van Amsterdam, Christoph**, Darmstadt, GERMANY, FEDERAL REPUBLIC OF  
 OF  
**Bartoszyk, Gerd**, Weiterstadt, GERMANY, FEDERAL REPUBLIC OF  
**Seyfried, Christoph**, Seeheim-Jugenheim, GERMANY, FEDERAL REPUBLIC OF  
 PI US-20070010531 A1 20070111  
 AI 2004US-000552064 A1 20040310 (10)  
 2004WO-EP0002453 20040310  
 20051005 PCT 371 date  
 PRAI 2003DE-010315569 20030405  
 DT Utility  
 FS APPLICATION  
 LREP MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201, US  
 CLMN Number of Claims: 17  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 185/  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula (I) and salts and solvates thereof, in which X, R.sub.1, R.sub.2, R.sub.3, and R.sub.5 have the meanings indicated in claim 1, are suitable as ligands of 5-HT receptors. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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148 ANSWER 2 OF 2 USPATFULL on STN  
 AN 2006108806 USPATFULL  
 TI Substituted pyrazoles  
 IN **Schlemann, Kai**, Seeheim-Jugenheim, GERMANY, FEDERAL REPUBLIC OF  
 OF  
**Ackermann, Karl-August**, Ober-Ramstadt, GERMANY, FEDERAL REPUBLIC OF  
 Arit, Michael, Alsbach, GERMANY, FEDERAL REPUBLIC OF  
 Pinsinger, Dirk, Munich, GERMANY, FEDERAL REPUBLIC OF  
**Van Amsterdam, Christoph**, Darmstadt, GERMANY, FEDERAL REPUBLIC OF  
 OF  
**Bartoszyk, Gerd**, Weiterstadt, GERMANY, FEDERAL REPUBLIC OF  
**Seyfried, Christoph**, Seeheim-Jugenheim, GERMANY, FEDERAL REPUBLIC OF  
 PI US-20060264419 A1 20061123  
 AI 2004US-000552065 A1 20040308 (10)  
 2004WO-EP0002353 20040308  
 20051005 PCT 371 date  
 PRAI 2003DE-010315572 20030405  
 DT Utility  
 FS APPLICATION  
 LREP MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201, US  
 CLMN Number of Claims: 10  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 2456/  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The compounds of the formula (I) and salts and solvates thereof, in which X, R.sub.1, R.sub.2, R.sub.3, R.sub.4 and R.sub.5 have the meanings indicated in claim (1), are suitable as ligands of 5-HT receptors. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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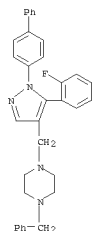
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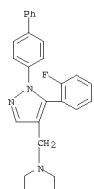
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148 ANSWER 1 OF 2 USPATFULL on STN (Continued)  
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 (prepn. of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists)  
 IT 508219-09-8  
 (preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists)  
 RN 508219-09-8 USPATFULL  
 CN Piperazine, 1-[1-[1,1'-biphenyl]-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]methyl]-4-(phenylmethyl)- (CA INDEX NAME)



148 ANSWER 2 OF 2 USPATFULL on STN (Continued)  
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 774585-81-8 774585-82-9 774593-64-5  
 774593-66-7 774593-70-3  
 (prepn. of arylpyrazoles as serotonin 5-HT2A and 5-HT2C receptor antagonists)  
 IT 508219-08-7  
 (preparation of arylpyrazoles as serotonin 5-HT2A and 5-HT2C receptor antagonists)  
 RN 508219-08-7 USPATFULL  
 CN Piperidine, 1-[1-[1,1'-biphenyl]-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 10:34:52 ON 06 MAR 2009)

FILE 'HCAPLUS' ENTERED AT 10:35:22 ON 06 MAR 2009

L1 1 US20060276650 /PN

FILE 'REGISTRY' ENTERED AT 10:35:41 ON 06 MAR 2009

FILE 'HCAPLUS' ENTERED AT 10:35:41 ON 06 MAR 2009

L2 TRA L1 1- RN : 49 TERMS

FILE 'REGISTRY' ENTERED AT 10:35:41 ON 06 MAR 2009

L3 49 SEA L2

L4 44 L3 AND N2C3/ES

L5 STR

L6 50 L5

E PYRIDINE/CN

L7 1216632 N2C3/ES AND (46.150.18 OR 46.156.30)/RID AND NR&gt;=3

L8 50 L5 SAM SUB=L7

L9 STR L5

L10 50 L9 SAM SUB=L7

L11 106513 L9 FULL SUB=L7

SAV TEM J905C1/A L11

L12 STR L9

L13 STR L9

L14 50 (L12 OR L13) SAM SUB=L11

L15 6421 (L12 OR L13) FULL SUB=L11

L16 29 L15 AND L3

L17 6392 L15 NOT L16

FILE 'HCAPLUS' ENTERED AT 10:52:42 ON 06 MAR 2009

L18 2 L16

SEL HIT RN 2

FILE 'REGISTRY' ENTERED AT 10:53:16 ON 06 MAR 2009

L19 1 E1

FILE 'HCAPLUS' ENTERED AT 10:54:21 ON 06 MAR 2009

L20 1 L18 AND L1

L21 1 L18 NOT L20

L22 276 L17

L23 138 L22 AND PD&lt;=20030405

L24 115 L22 AND PD&lt;=20020405

L25 55 L22 AND (PRD&lt;=20040308 OR AD&lt;=20040308)

SEL HIT RN

DEL SEL Y

L26 158 L23-25

FILE 'REGISTRY' ENTERED AT 11:04:22 ON 06 MAR 2009

L27 TRA L26 1- RN : 56277 TERMS

L28 STR L12

L29 STR L13

L30 50 (L28 OR L29) SAM CSS SUB=L17

L31 1733 (L28 OR L29) FULL CSS SUB=L17

SAV TEM J905C1N/A L31

FILE 'HCAPLUS' ENTERED AT 11:14:46 ON 06 MAR 2009

L32 140 L31

L33 110 L32 AND L26

DEL SEL Y

SEL HIT RN

DEL SEL Y

L34 95 L33 AND L23-24

L35 15 L33 NOT L34

SEL HIT RN

DEL SEL Y

SEL HIT RN L34



FILE 'REGISTRY' ENTERED AT 11:17:11 ON 06 MAR 2009

L36 315 E1-315  
L37 3 L36 AND (C28H21N2S2 OR C24H20N2O2)  
L38 1 L37 AND C24H20N2O2

FILE 'HCAPLUS' ENTERED AT 11:43:23 ON 06 MAR 2009

L39 2 L38

FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 11:44:57 ON 06 MAR 2009

L40 41 L31  
L41 1 L16  
E SCHADT O/AU  
L42 24 E4-5  
E SCHIEMANN K/AU  
L43 37 E4  
E VAN AMSTERDAM C/AU  
L44 41 E4-5  
E BARTOSZYK G/AU  
L45 75 E4  
E SEYFRIED C/AU  
L46 94 E5-6  
L47 10909 MERCK/CS,PA  
L48 2 L40-41 AND L42-47

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